## AMENDMENTS TO THE CLAIMS

## 1. (Currently Amended) A compound of formula (I)

$$\begin{array}{c|cccc}
R_1 & R_2 & R_3 \\
 & N & CN \\
 & O & R_4 & R_5
\end{array}$$
(I)

or a pharmaceutically acceptable salt or prodrug thereof, wherein

R1 is hydrogen, C1 6alkyl optionally substituted with a substituent—selected from the group consisting of halogen, amino, hydroxy, cyano and C1 3alkoxy; or C2 6alkenyl, C2 6alkynyl, C1-6alkoxy, C1 6alkylthio, C1 6alkylcarbonyl, an unsubstituted or substituted C3 10cycloalkyl group, an unsubstituted or substituted C3 10cycloalkylcarbonyl group, an unsubstituted or substituted or substituted C3 7heterocycloalkyl group, an unsubstituted or substituted C1 6alkylaryl group, an unsubstituted or substituted C2 6alkenylaryl group, an unsubstituted or substituted or substituted or substituted aryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted arylthio group, an unsubstituted or substituted arylthio group, an unsubstituted or substituted arylthio group, an unsubstituted or substituted arylaryl group, an unsubstituted or substituted arylaryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted heteroaryl group, an unsubstituted or substituted heteroaryloxy group, an unsubstituted or substituted heteroarylsulfonyl group, an

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unsubstituted or substituted heteroarylamino group, an unsubstituted or substituted C1-5alkylC3-7eyeloalkyl group or an unsubstituted or substituted C1-5alkylC3-7heterocycloalkyl group;

R2 is hydrogen or C1-6alkyl; or R1 and R2 together form an unsubstituted or substituted C3-10cycloalkyl group or an unsubstituted or substituted C3-7heterocycloalkyl group;

R3 is hydrogen or C1-6alkyl; or R1 and R3 together form an unsubstituted or substituted C3-7heterocycloalkyl group;

7cycloalkyl group or an unsubstituted, substituted C1-5alkylC3-7heterocycloalkyl group or a group of the formula:

$$A$$
  $B$ 

wherein A is a ring system with one ore more substituents X, and A is selected from C5-7cycloalkyl, C5-7heterocycloalkyl, aryl and heteroaryl;

X being the same or different selected from hydrogen, Cl, Br, F, I, hydroxy, amino, cyano, trifluoromethyl, Cl-6alkyl, Cl-6alkylthio or Cl-6alkoxy;

B is a ring system with one ore more substituents Y, and B is selected from C5-7cycloalkyl, C5-7heterocycloalkyl, aryl and heteroaryl;

Y being the same or different selected from hydrogen, Cl, Br, F, I, hydroxy, amino, cyano, trifluoromethyl, Cl-6alkylthio or Cl-6alkoxy;

-L is a linker, which is C1-6alkyl or C2-6alkenyl, or a moiety selected from the group consisting of

and, wherein the linker -L may be attached via either of the two free bonds to the ring A; n is the same or different integer selected from 0, 1, 2 and 3;

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R5 is hydrogen or C1-6alkyl; or R4 and R5 together form an unsubstituted or substituted C3-

10cycloalkyl group or an unsubstituted or substituted C3-7heterocycloalkyl group;

wherein a substituted group is substituted with one, two or three substituents independently

selected from the group consisting of C1-6alkyl, C1-6alkoxy, C1-6alkylthio, C1-6alkylcarbonyl,

C1-6-N-alkylamide, dialkylamino-C1-6alkyl, amide, hydroxy, carboxy, amino, nitro, halogen,

trifluoromethyl, trifluoromethoxy, trifluoromethylthio and cyano.

R1 is C1-6alkyl optionally substituted with a substituent selected from the group consisting of

halogen, amino, hydroxy, cyano and C1-3alkoxy; an unsubstituted or substituted C3-

10cycloalkyl group; an unsubstituted or substituted C1-6alkylaryl group; an unsubstituted or

substituted C1-6alkylheteroaryl group; or an unsubstituted or substituted aryl group;

R2 is hydrogen;

R3 is hydrogen;

R4 is C1-6alkyl; an unsubstituted or substituted C1-6alkylaryl group; an unsubstituted or

substituted C2-6alkenylaryl group; or an unsubstituted or substituted C1-6alkylheteroaryl group;

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and

R5 is hydrogen;

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wherein a substituted group is substituted with one, two or three substituents independently

selected from the group consisting of C1-6alkyl, C1-6alkoxy, C1-6alkylthio, C1-6alkylcarbonyl,

C1-6-N-alkylamide, dialkylamino-C1-6alkyl, amide, hydroxy, carboxy, amino, nitro, halogen,

trifluoromethyl, trifluoromethoxy, trifluoromethylthio and cyano, with the proviso that said

compound of formula (I) is not H-Leu-Leu(CN).

2. (Currently Amended) A compound according to claim 1 or 37, wherein R1 is selected from

the group consisting of hydrogen, C1-6alkyl, an unsubstituted or substituted aryl, an

unsubstituted or substituted C1-6alkylaryl group, an unsubstituted or substituted C1-

6alkylheteroaryl group, or an unsubstituted or substituted C3-10-cycloalkyl group.

3. (Currently Amended) A compound according to claim 1-or-2, wherein R1 is hydrogen,

methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, phenyl, benzyl or

cyclohexyl.

4. (Currently Amended) A compound according to claim-12, wherein R1 is hydrogen, methyl

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or ethyl.

5- 11. (**Cancelled**)

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- 12. (Currently Amended) A compound according to claim 1, wherein R4 is hydrogen, unsubstituted or substituted benzyl, 2-phenylethyl, 3-phenylprop-2-ene, [1,1'-biphenyl-4-yl]methyl or [1,1'-biphenyl-2-yl]methyl.
- 13. (Currently Amended) A compound according to claim—1\_2, wherein—R5 is hydrogen R4 is unsubstituted or substituted benzyl, 2-phenylethyl, 3-phenylprop-2-ene, [1,1'-biphenyl-4-yl]methyl or [1,1'-biphenyl-2-yl]methyl.

## 14-16. (Cancelled)

## 17. (Currently Amended) A compound according to claim-12, wherein R4 is

[1,1'-biphenyl-4-yl]methyl, [1,1', 2-methylbiphenyl-4-yl]methyl, [1,1', 3-methylbiphenyl-4-yl]methyl, [1,1', 3-methylbiphenyl-4-yl]methyl yl]methyl, [1,1', 2-hydroxybiphenyl-4-yl]methyl, [1,1', 3-hydroxybiphenyl-4-yl]methyl, [1,1', 2methoxybiphenyl-4-yl]methyl, [1,1',3-methoxybiphenyl-4-yl]methyl, [1,1]'2methylthiobiphenyl-4-yl]methyl, [1,1',3-methylthiobiphenyl-4-yl]methyl, [1,1',2cyanobiphenyl-4-yl]methyl, [1,1', 3-cyanobiphenyl-4-yl]methyl, [1,1', 2-aminobiphenyl-4-yl]methyl, [1, yl]methyl, [1,1', 3-aminobiphenyl-4-yl]methyl, [1,1', 2-fluorobiphenyl-4-yl]methyl, [1,1', 3fluorobiphenyl-4-yl]methyl, [1,1', 2-chlorobiphenyl-4-yl]methyl, [1,1', 3-chlorobiphenyl-4-yl]methyl, yl]methyl, [1,1', 2-bromobiphenyl-4-yl]methyl, [1,1', 3-bromobiphenyl-4-yl]methyl, [1,1', 2'fluorobiphenyl-4-yl]methyl, [1,1', 3'-fluorobiphenyl-4-yl]methyl, [1,1', 4'-fluorobiphenyl-4yl]methyl, [1,1', 2'-chlorobiphenyl-4-yl]methyl, [1,1', 3'-chlorobiphenyl-4-yl]methyl, [1,1', 4'chlorobiphenyl-4-yl]methyl, [1,1', 2'-bromobiphenyl-4-yl]methyl, [1,1', 3'-bromobiphenyl-4yl]methyl, [1,1', 4'-bromobiphenyl-4-yl]methyl, [1,1', 2'-cyanobiphenyl-4-yl]methyl, [1,1', 3'-cyanobiphenyl-4-yl]methyl, [1,1', 4'-hydroxybiphenyl-4-yl]methyl, [1,1', 4'-hydroxybiphenyl-4-yl]methyl, [1,1', 4'-methoxybiphenyl-4-yl]methyl, [1,1', 4'-methoxybiphenyl-4-yl]methyl, [1,1', 4'-methylthiobiphenyl-4-yl]methyl, [1,1', 4'-trifluoromethylbiphenyl-4-yl]methyl, [1,1', 2-methyl-4'-fluorobiphenyl-4-yl]methyl, [1,1', 2-chloro-4'-cyanobiphenyl-4-yl]methyl, [1,1', 2-methoxy-3'-fluorobiphenyl-4-yl]methyl, [1,1', 2-hydroxy-2'-fluorobiphenyl-4-yl]methyl, [1,1', 3-amino-3'-methoxybiphenyl-4-yl]methyl, [1,1', 2-fluoro-4'-fluorobiphenyl-4-yl]methyl,

[2-phenyl-1,3-thiazol-4-yl]methyl, [5-phenylpyridin-3-yl]methyl, [3-pyrimidin-5ylphenyl]methyl, [3-pyridin-2-ylphenyl]methyl, [3-pyridin-4-ylphenyl]methyl, [3-(1H-indol-6yl)phenyl]methyl, [1-(2-fluorophenyl)piperidin-4-yl]methyl, [3-fluoro-4-(1piperidinyl)phenyl|methyl, [1,1'-biphenyl-4-yl]ethyl, [1,1', 2-methylbiphenyl-4-yl]ethyl, [1,1', 3methylbiphenyl-4-yl]ethyl, [1,1', 2-hydroxybiphenyl-4-yl]ethyl, [1,1', 3-hydroxybiphenyl-4yllethyl, [1,1', 2-methoxybiphenyl-4-yllethyl, [1,1', 3-methoxybiphenyl-4-yllethyl, [1,1', 2methylthiobiphenyl-4-yl]ethyl, [1,1', 3-methylthiobiphenyl-4-yl]ethyl, [1,1', 2-cyanobiphenyl-4-yl]ethyl, [1,1', 2-cyanobiphenyl-4-yl]ethyl, [1,1', 2-cyanobiphenyl-4-yl]ethyl, [1,1', 3-methylthiobiphenyl-4-yl]ethyl, [1,1', 3-methylthiobiphenyl-4yl]ethyl, [1,1', 3-cyanobiphenyl-4-yl]ethyl, [1,1', 2-aminobiphenyl-4-yl]ethyl, [1,1', 3aminobiphenyl-4-yl]ethyl, [1,1', 2-fluorobiphenyl-4-yl]ethyl, [1,1', 3-fluorobiphenyl-4-yl]ethyl, [1,1', 2-chlorobiphenyl-4-yl]ethyl, [1,1', 3-chlorobiphenyl-4-yl]ethyl, [1,1', 2-bromobiphenyl-4yllethyl, [1,1', 3-bromobiphenyl-4-yllethyl, [1,1', 2'-fluorobiphenyl-4-yllethyl, [1,1', 3'fluorobiphenyl-4-yl]ethyl, [1,1', 4'-fluorobiphenyl-4-yl]ethyl, [1,1', 2'-chlorobiphenyl-4-yl]ethyl, [1,1', 3'-chlorobiphenyl-4-yl]ethyl, [1,1', 4'-chlorobiphenyl-4-yl]ethyl, [1,1', 2'-bromobiphenyl-4-yl]ethyl, yl]ethyl, [1,1', 3'-bromobiphenyl-4-yl]ethyl, [1,1', 4'-bromobiphenyl-4-yl]ethyl, [1,1', 2'cyanobiphenyl-4-yl]ethyl, [1,1', 3'-cyanobiphenyl-4-yl]ethyl, [1,1', 4'-cyanobiphenyl-4-yl]ethyl,

[1,1', 4'-trifluoromethylbiphenyl-4-yl]ethyl, [1,1', 2-methyl-4'-fluorobiphenyl-4-yl]ethyl, [1,1', 2-chloro-4'-cyanobiphenyl-4-yl]ethyl, [1,1', 2-methoxy-3'-fluorobiphenyl-4-yl]ethyl, [1,1', 2-hydroxy-2'-fluorobiphenyl-4-yl]ethyl, [1,1', 3-amino-3'-methoxybiphenyl-4-yl]ethyl, [2-phenyl-1,3-thiazol-4-yl]ethyl, [5-phenylpyridin-3-yl]ethyl, [3-pyrimidin-5-ylphenyl]ethyl, [3-pyridin-2-ylphenyl]ethyl, [3-pyridin-4-yl]ethyl, [3-fluoro-4-(1-piperidinyl)phenyl]ethyl, [1,1'-biphenyl-4-yl]methyloxymethyl, [1,1'-biphenyl-4-yl]methyloxymethyl, [1,1'-biphenyl-4-yl]methylthiomethyl, [1,1'-biphenyl-4-yl]methylthiomethyl, [1,1'-biphenyl-4-yl]ethylenyl or [1,1',4'-fluorobiphenyl-4-yl]ethylenyl.

- 18. (Currently Amended) A compound according to claim 1, selected from the group consisting of
- N (2S 2-amino-3-phenylpropionyl) aminoacetonitrile;
- (2S)-N-[(2S)-2-aminobutanoyl]-2-amino-3-phenylpropionitrile;
- (2S) N-Methyl-N-[(2S)-2-aminobutanoyl]-2-amino-3-phenylpropionitrile;
- (2S)-N-[(2S)-2-aminobutanoy1]-2-amino-3-(p-chlorophenyl)propionitrile;
- (2S)-N-[(2S)-2-aminobutanoyl]-2-amino-3-(1,1'-biphenyl-4-yl)propionitrile;
- $(2S)\hbox{-}(4Z)\hbox{-}N\hbox{-}[(2S)\hbox{-}2\hbox{-}aminobutanoyl]\hbox{-}2\hbox{-}amino\hbox{-}5\hbox{-}phenyl\hbox{-}pent\hbox{-}4\hbox{-}ene\hbox{-}nitrile;}$
- (2S)-N-[(2S)-2-aminobutanoyl]-2-amino-4-phenylbutyronitrile and
- (2S)-N-[(2S)-3-phenylaminopropanoyl]-2-amino-3-phenylpropionitrile.

- 19. (Currently Amended) The compound according to claim 1, which exhibits an IC50 value of 500  $\mu$ M or less such as, e.g., 100  $\mu$ M or less, 50  $\mu$ M or less, 1  $\mu$ M or less, 500  $\mu$ M or less, 50  $\mu$ M or less,
- 20. (Currently Amended) A-The compound according to claim 1, which exhibits a IC50 value of 100 μM or less for use in medicine.
- 21. (Currently Amended) A compound according to claim—20\_1, which exhibits an IC50 value of 500 nM or less for use as a protease inhibitor.
- 22. (Currently Amended) A compound according to claim 21 1, which exhibits an IC50 value of 100 nM or less for use as a cysteine protease inhibitor.
- 23. (Currently Amended) A compound according to claim—20\_1, which exhibits an IC50 value of 25 nM or less for use in the treatment, prophylaxis and/or diagnosis of inflammation, type2 diabetes, asthma, severe influenza, respiratory syncytial virus infection, CD8 T cell inhibition, inflammatory bowel diseases, psoriasis, atopic dermatitis, Papillon Lefevre syndrome, Haim Munk syndrome, gum disease, periodontitis, rheumatoid arthritis, Huntington's disease, Chagas' disease, Alzheimer's disease, sepsis or for application in target cell apoptosis.
- 24. (Currently Amended) A pharmaceutical composition suitable for administration to a patient comprising, as an active substance, a compound as defined in claim 1 of formula (I)

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or a pharmaceutically acceptable salt or prodrug thereof, wherein

R1 is C1-6alkyl optionally substituted with a substituent selected from the group consisting of halogen, amino, hydroxy, cyano and C1-3alkoxy; an unsubstituted or substituted C3-10cycloalkyl group; an unsubstituted or substituted C1-6alkylaryl group; an unsubstituted or substituted or substituted or substituted aryl group;

R2 is hydrogen;

R3 is hydrogen;

R4 is C1-6alkyl; an unsubstituted or substituted C1-6alkylaryl group; an unsubstituted or substituted C2-6alkenylaryl group; or an unsubstituted or substituted C1-6alkylheteroaryl group; and

R5 is hydrogen;

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wherein a substituted group is substituted with one, two or three substituents independently

selected from the group consisting of C1-6alkyl, C1-6alkoxy, C1-6alkylthio, C1-6alkylcarbonyl,

C1-6-N-alkylamide, dialkylamino-C1-6alkyl, amide, hydroxy, carboxy, amino, nitro, halogen,

trifluoromethyl, trifluoromethoxy, trifluoromethylthio and cyano or a pharmaceutically

acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.

25. (Currently Amended) A pharmaceutical composition according to claim 24 in unit dosage

form, comprising from about 1 mg to about 1000 mg such as, e.g., from about 10 mg to about

500 mg, from about 0.05 to about 100 mg or from about 0.1 to about 50 mg, of the active

substance.

26. (Previously Presented) A pharmaceutical composition according to claim 24 for oral, nasal,

transdermal, pulmonal or parenteral administration.

27. (Currently Amended) A method for the treatment of ailments, the method comprising

administering to a subject in need thereof an effective amount of a compound as defined in claim

1 or of a composition as defined in claim 24.

28. (Currently Amended) The method according to claim 27, wherein the effective amount of

the compound is in a range of from about 1 mg to about 1000 mg-such as, e.g., from about 10 mg

to about 500 mg, from about 0.05 to about 100 mg or from about 0.1 to about 50 mg per day.

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29. (Previously Presented) Use of a compound as defined in claim 1 for the preparation of a

medicament.

30. (Previously Presented) Use of a compound as defined in claim 1 for the preparation of a

medicament for treatment, prophylaxis and/or diagnosis of inflammation, type2 diabetes, asthma,

severe influenza, respiratory syncytial virus infection, CD8 T cell inhibition, inflammatory bowel

diseases, psoriasis, atopic dermatitis, Papillon Lefevre syndrome, Haim Munk syndrome, gum

disease, periodontitis, rheumatoid arthritis, Huntington's disease, Chagas' disease, Alzheimer's

disease, sepsis or for application in target cell apoptosis.

31. (Previously Presented) A method for modulating DPP-I levels in a subject in need thereof

comprising administering to said subject an amount of a compound as defined in claim 1 or a

composition in an amount effective to modulate said DPP-I levels in said subject.

32. (Original) A method according to claim 31, wherein said DPP-I is inhibited.

33. (Original) A method according to claim 32, wherein DPP-I is selectively inhibited as

determined by IC50(Cathepsin B)/ IC50(DPP-I assay) is 25 or more such as, e.g., 50 or more, 75

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or more, 100 or more, 250 or more, 500 or more or 750 or more.

- 34. (Previously Presented) The method according to claim 32, wherein DPP-I is selectively inhibited as determined by IC50(Cathepsin H)/ IC50(DPP-I assay) is 25 or more such as, e.g., 50 or more, 75 or more, 100 or more, 250 or more, 500 or more or 750 or more.
- 35. (**Previously Presented**) The method according to claim 32, wherein DPP-I is selectively inhibited as determined by IC50(Cathepsin L)/ IC50(DPP-I assay) is 25 or more such as, e.g., 50 or more, 75 or more, 100 or more, 250 or more, 500 or more or 750 or more.
- 36. (Cancelled)
- 37. (Currently Amended) A compound according to claim—36\_1, wherein R1 is C1-6alkyl and R4 is an unsubstituted or substituted C1-6alkylaryl group.